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 NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
 NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
 NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
 NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
 NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
 NEWS 10 SEP 22 DIPPR file reloaded
 NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded
 NEWS 12 SEP 29 DISSABS now available on STN
 NEWS 13 OCT 10 PCTFULL: Two new display fields added

 NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0b(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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=> file reg	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 9 OCT 2003 HIGHEST RN 602261-48-3

DICTIONARY FILE UPDATES: 9 OCT 2003 HIGHEST RN 602261-48-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 1008734.1

L1 STRUCTURE uploaded

=> d l1

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 08:26:49 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS

44 ANSWERS

SEARCH TIME: 00.00.01

L2 44 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

SESSION

148.15

148.36

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FILE COVERS 1907 - 11 Oct 2003 VOL 139 ISS 16
FILE LAST UPDATED: 10 Oct 2003 (20031010/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12
L3 1 L2

=> s benzofuran and tetrazole
L4 0 BENZOFURANE AND TETRAZOLE

=> s Ip antagonists and AD
L5 0 IP ANTAGONISTS AND AD

=> s IP antagonists
L6 3 IP ANTAGONISTS

=> s 16 and 13
L7 1 L6 AND L3

=> d his

(FILE 'HOME' ENTERED AT 08:25:56 ON 11 OCT 2003)

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L1 STRUCTURE uploaded
L2 44 S L1 SSS FULL

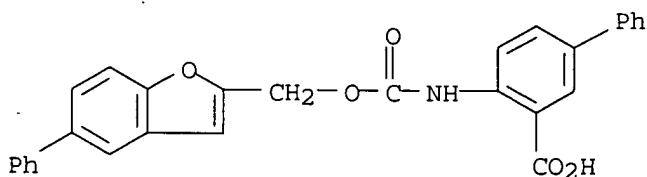
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L3 1 S L2
L4 0 S BENZOFURANE AND TETRAZOLE
L5 0 S IP ANTAGONISTS AND AD
L6 3 S IP ANTAGONISTS
L7 1 S L6 AND L3

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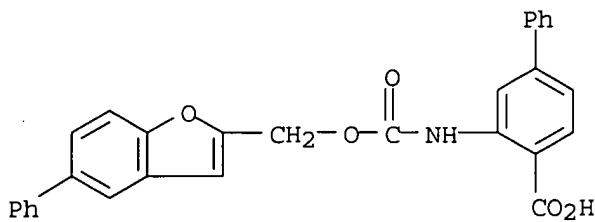
L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695967 CAPLUS
DN 137:232672
TI Preparation of substituted benzofuran-2-ylmethyl phenylcarbamates as IP antagonists
IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

Index entry

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070500	A1	20020912	WO 2002-EP1943	20020225
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	US 2002165235	A1	20021107	US 2001-312559PP	20010815
				US 2002-87034	<u>20020301</u> <i>870P</i>
				US 2001-272872PP	20010302
				US 2001-312559PP	20010815
OS	MARPAT 137:232672				
IT	458537-01-4P 458537-03-6P 458537-05-8P 458537-07-0P 458537-09-2P 458537-11-6P 458537-13-8P 458537-14-9P 458537-18-3P 458537-20-7P 458537-22-9P 458537-24-1P 458537-25-2P 458537-27-4P 458537-29-6P 458537-31-0P 458537-32-1P 458537-34-3P 458537-36-5P 458537-38-7P 458537-40-1P 458537-42-3P 458537-44-5P 458537-46-7P 458537-48-9P 458537-50-3P 458537-51-4P 458537-53-6P 458537-55-8P 458537-57-0P 458537-59-2P 458537-60-5P 458537-69-4P 458537-73-0P 458537-74-1P 458537-75-2P 458537-76-3P 458537-77-4P 458537-78-5P 458537-79-6P 458537-80-9P 458537-82-1P 458537-83-2P 458538-18-6P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of substituted benzofuran-2-ylmethyl phenylcarbamates as IP antagonists)				
RN	458537-01-4 CAPLUS				
CN	[1,1'-Biphenyl]-3-carboxylic acid, 4-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)				

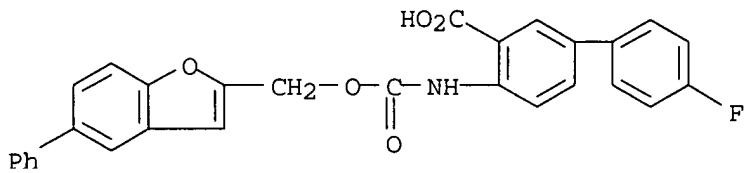


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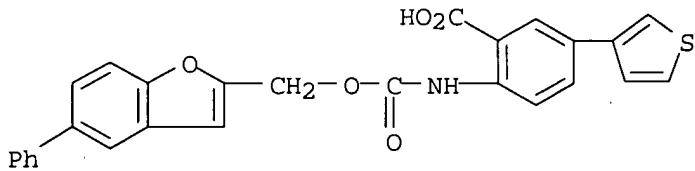
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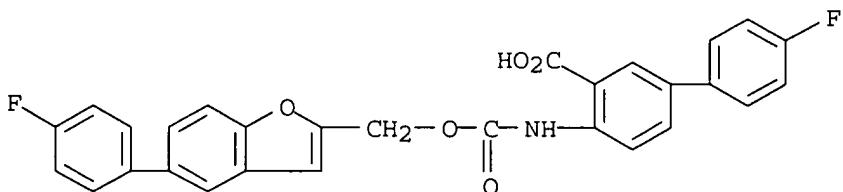
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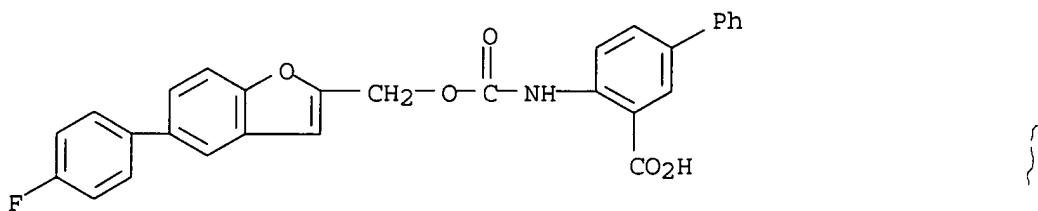
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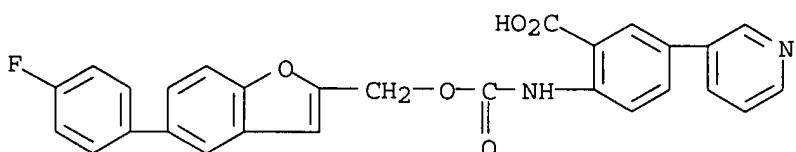


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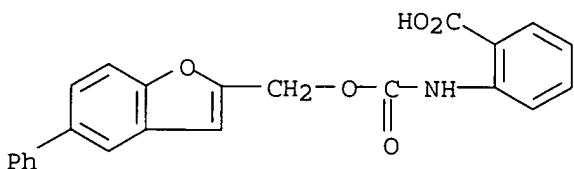
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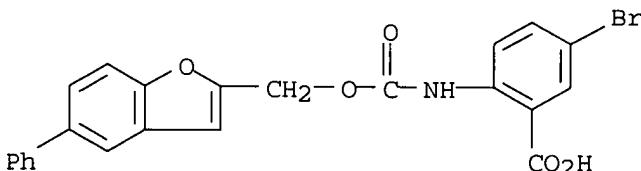
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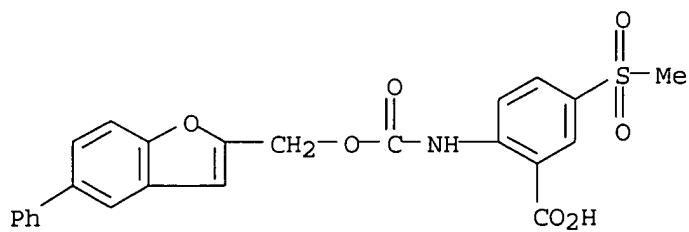
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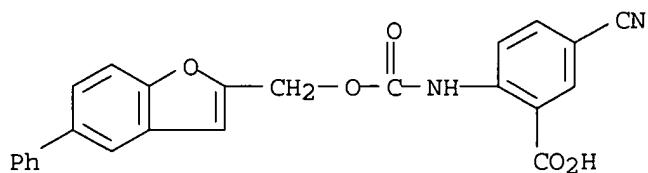
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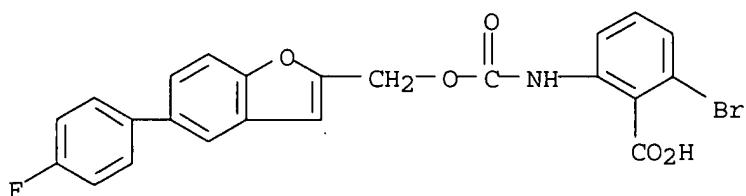
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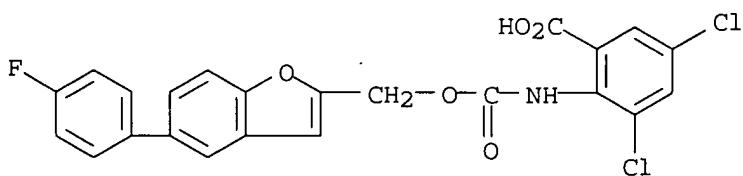
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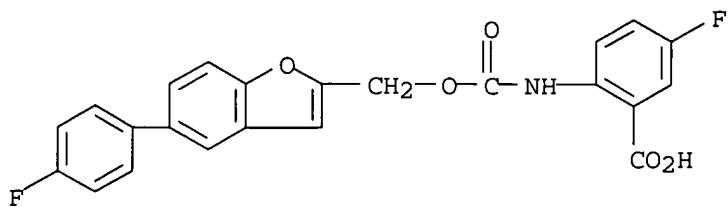
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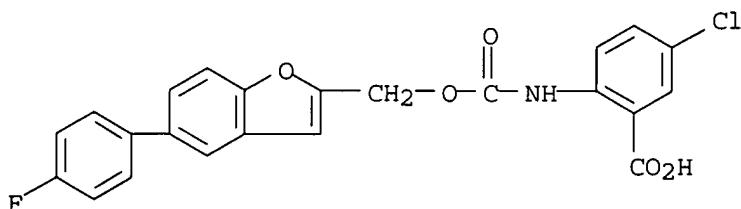
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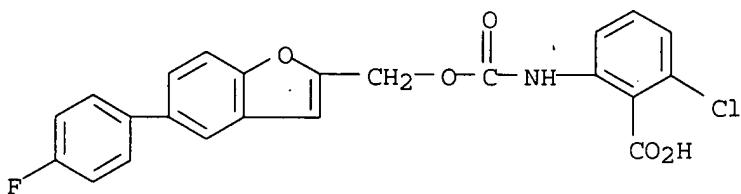
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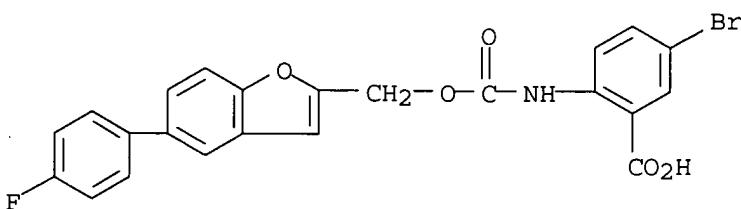
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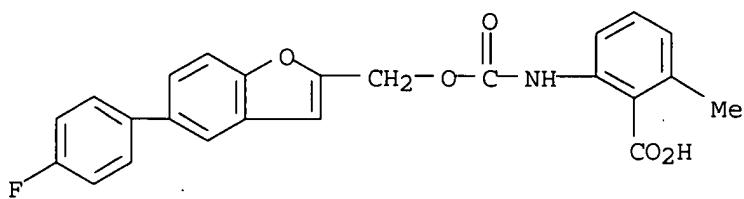
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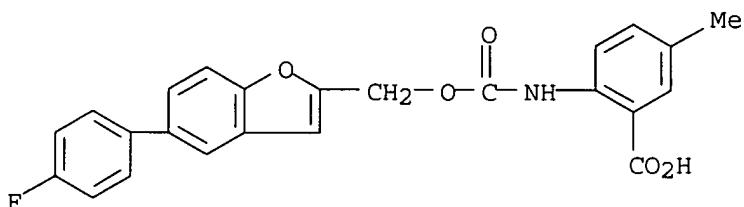
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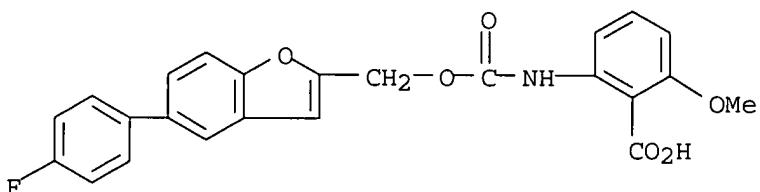
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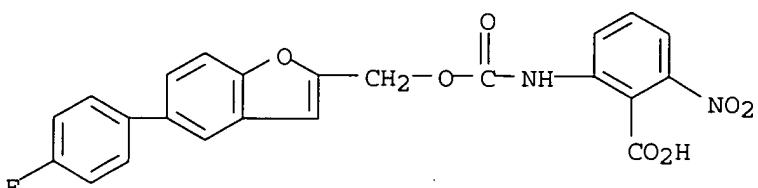
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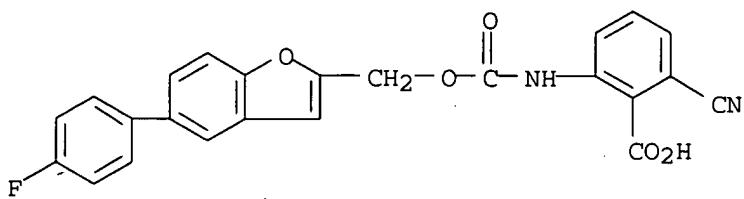
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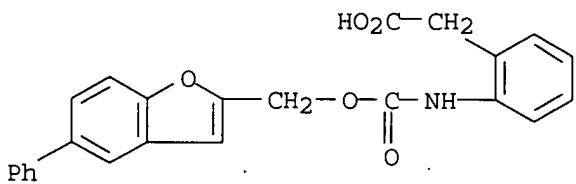
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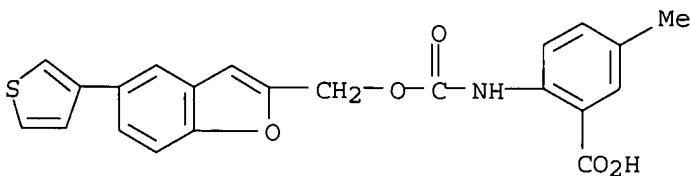
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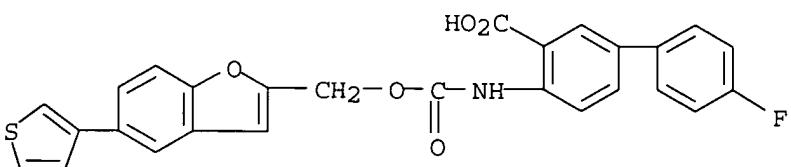
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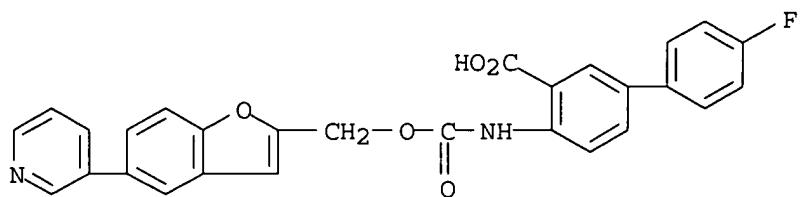
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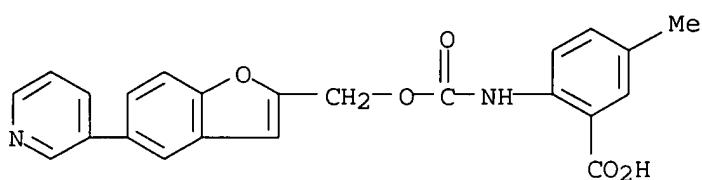
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CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[[(5-(3-thienyl)-2-
benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



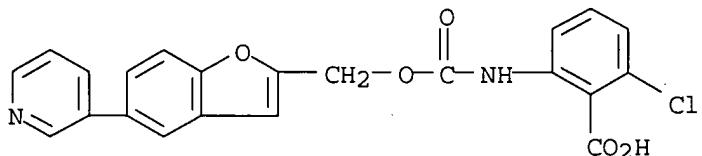
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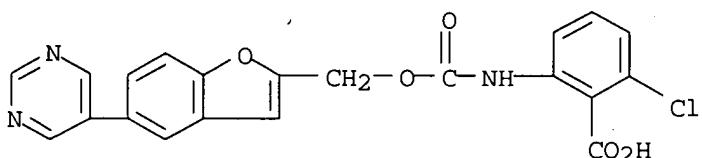
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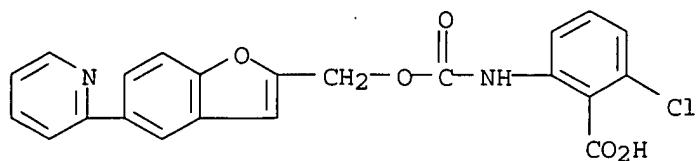
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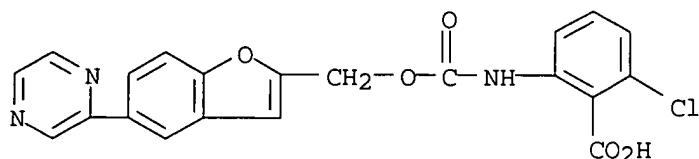
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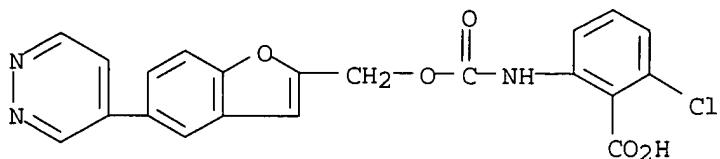
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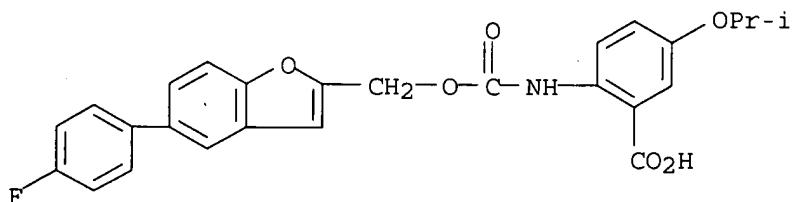
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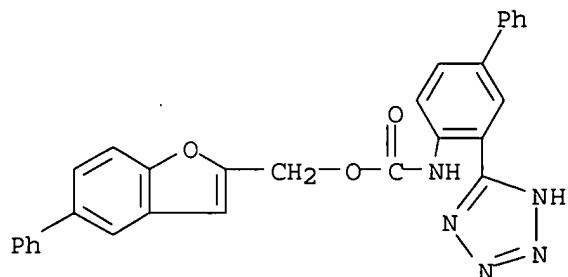
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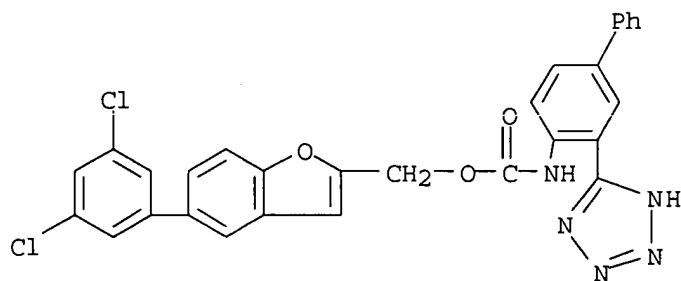


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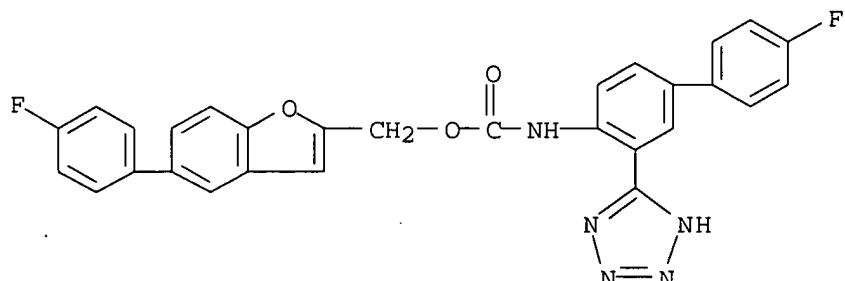
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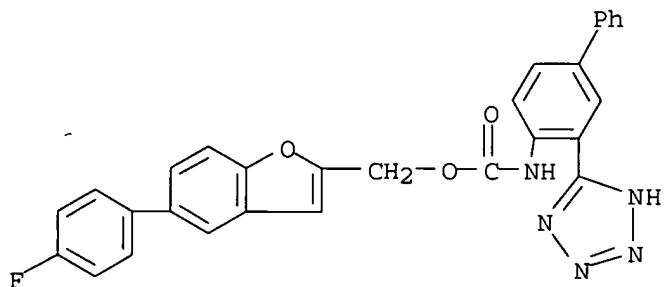
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CN Carbamic acid, [4'-fluoro-3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-[5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



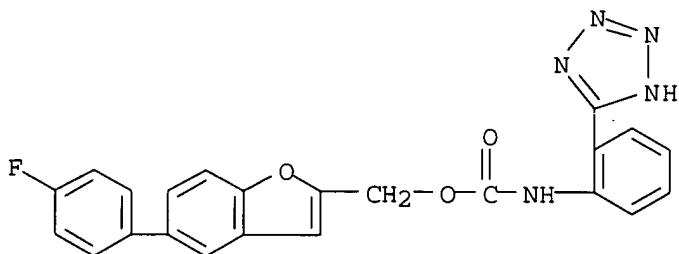
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CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-[5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



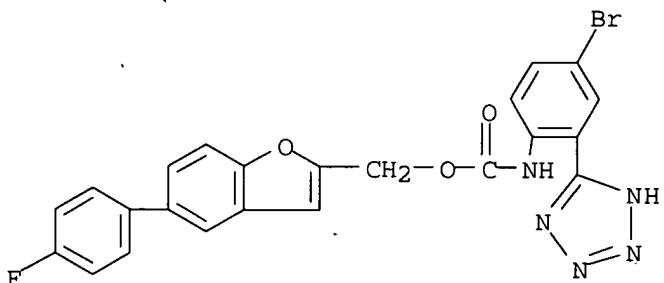
RN 458537-77-4 CAPLUS

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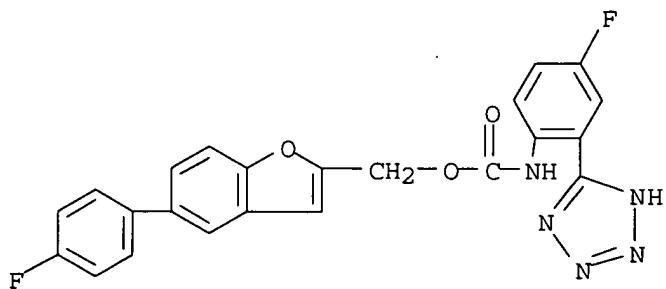
RN 458537-78-5 CAPLUS

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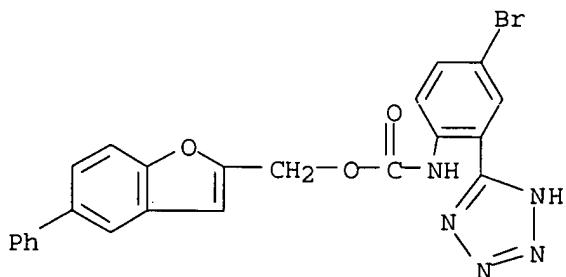
RN 458537-79-6 CAPLUS

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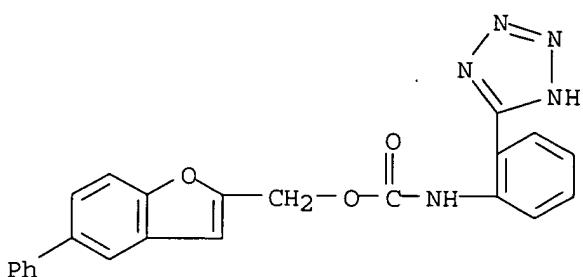
RN 458537-80-9 CAPLUS

CN Carbamic acid, [4-bromo-2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



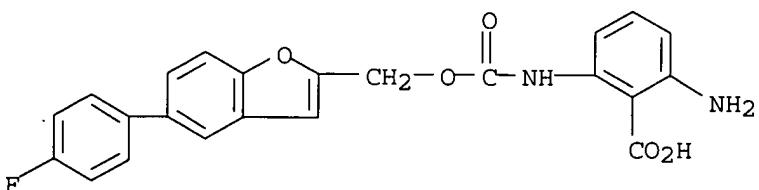
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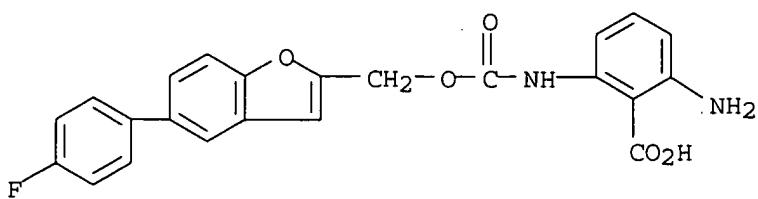
CN Carbamic acid, [2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



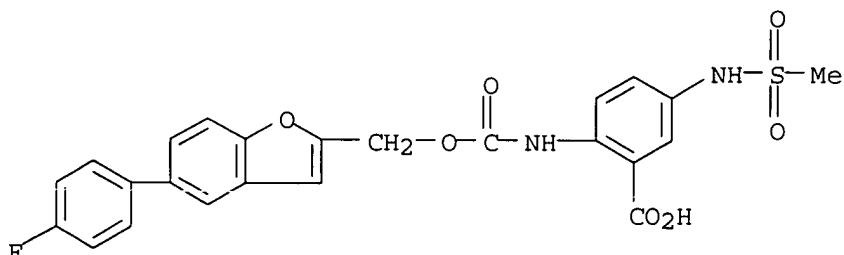
RN 458537-83-2 CAPLUS

CN Benzoic acid, 2-amino-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

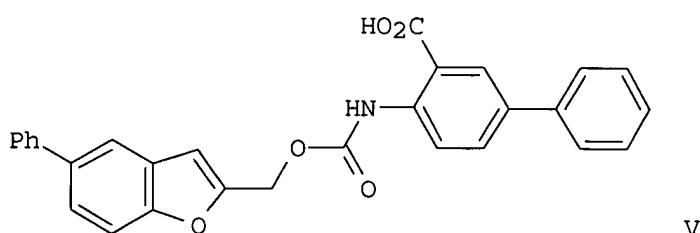
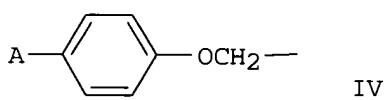




RN 458538-18-6 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



GI



AB The title alkoxy carbonylamino benzoic acid or alkoxy carbonylamino tetrazolyl Ph derivs. G1CH₂OCONHG2 [I; G1 = II-IV (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, etc.); G2 = (un)substituted

2-carboxyphenyl, 3-carboxybiphenyl-4-yl, 3-(1H-tetrazol-5-yl)biphenyl-4-yl, etc.] which are generally IP receptor antagonists useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prep'd. and formulated. Thus, treating Me 2-amino-5-phenylbenzoate (prepn. given) with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the resulting Me ester afforded the acid V which showed pKi of 7.6 in in vitro human platelet receptor binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 16 fbib hitstr abstotal
'ABSTOTAL' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
 ALL ----- BIB, AB, IND, RE
 APPS ----- AI, PRAI
 BIB ----- AN, plus Bibliographic Data and PI table (default)
 CAN ----- List of CA abstract numbers without answer numbers
 CBIB ----- AN, plus Compressed Bibliographic Data
 DALL ----- ALL, delimited (end of each field identified)
 DMAX ----- MAX, delimited for post-processing
 FAM ----- AN, PI and PRAI in table, plus Patent Family data
 FBIB ----- AN, BIB, plus Patent FAM
 IND ----- Indexing data
 IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, IPC, and NCL

 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

 HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields

FHITSTR ----- First HIT RN, its text modification, its CA index name, and its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

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 'BIBN' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
 ALL ----- BIB, AB, IND, RE
 APPS ----- AI, PRAI
 BIB ----- AN, plus Bibliographic Data and PI table (default)
 CAN ----- List of CA abstract numbers without answer numbers
 CBIB ----- AN, plus Compressed Bibliographic Data
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 DMAX ----- MAX, delimited for post-processing
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 FBIB ----- AN, BIB, plus Patent FAM
 IND ----- Indexing data
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 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, IPC, and NCL
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 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels
 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations
 HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification

HITSTR ----- HIT RN, its text modification, its CA index name, and its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):BIB

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:695978 CAPLUS *S. Mard*
 DN 137:232662
 TI Preparation of alkoxy carbonylamino heteroaryl carboxylic acids as IP antagonists
 IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
 PA F. Hoffmann-La Roche A.-G., Switz.
 SO PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002070514	A1	20020912	WO 2002-EP1942	20020225
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
→ US 2002169171	A1	20021114	US 2002-86615	20020301
→ US 6569860	B2	20030527		
PRAI US 2001-272849P	P	20010302		
OS MARPAT 137:232662				
RE.CNT 4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT			

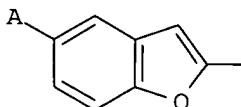
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L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

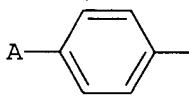
AN 2002:695978 CAPLUS
DN 137:232662
TI Preparation of alkoxy carbonyl amino heteroaryl carboxylic acids as
IP antagonists
IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6569860	B2	20030527	US 2002-86615	200203
			US 2001-272849PP	200103

OS MARPAT 137:232662
GI



II



III

AB The title compds. G1CH2OCONHG2 [I; G1 = II, III (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, thienyl); G2 = (un)substituted 4-carboxypyrimidin-5-yl, 4-carboxypyrazol-3-yl, 4-carboxypyridin-3-yl, etc.] which are IP receptor antagonists, useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prep'd. and formulated. Thus, treating Et 3-aminopyridine-4-carboxylate.HCl with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the ester afforded I [G1 = II; A = Ph; G2 = 4-carboxypyridin-3-yl] which showed pKi of 6.7 in vitro human platelet IP receptor binding assay.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695967 CAPLUS

DN 137:232672

TI Preparation of substituted benzofuran-2-ylmethyl phenylcarbamates as IP antagonists

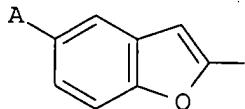
IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde

PA F. Hoffmann-La Roche A.-G., Switz.
 SO PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 1

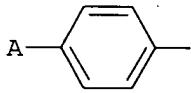
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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				US 2001-312559PP	20010815
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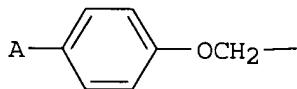
OS MARPAT 137:232672
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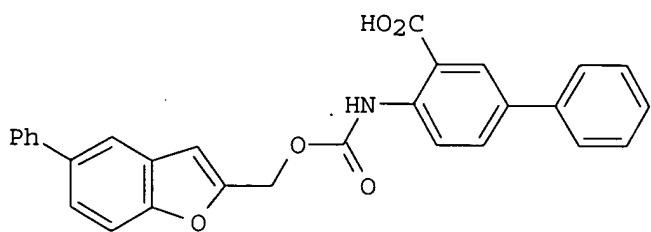
II



III



IV



V

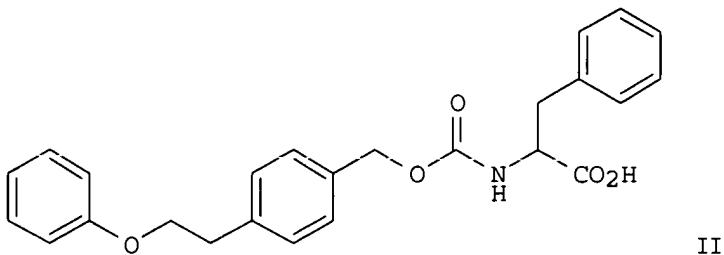
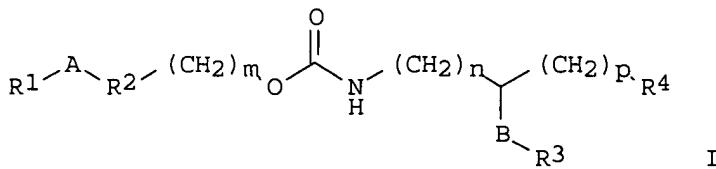
AB The title alkoxycarbonylamino benzoic acid or alkoxycarbonylamino tetrazolyl Ph derivs. G1CH2OCONHG2 [I; G1 = II-IV (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, etc.); G2 = (un)substituted 2-carboxyphenyl, 3-carboxybiphenyl-4-yl, 3-(1H-tetrazol-5-yl)biphenyl-4-

yl, etc.] which are generally IP receptor antagonists useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prepd. and formulated. Thus, treating Me 2-amino-5-phenylbenzoate (prepn. given) with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the resulting Me ester afforded the acid V which showed pKi of 7.6 in in vitro human platelet receptor binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6	ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN			
AN	2001:693269 CAPLUS			
DN	135:257467			
TI	Preparation of N-(arylmethoxycarbonyl)phenylalanine derivatives as IP antagonists			
IN	Cournoyer, Richard Leo; Keitz, Paul Francis; Lowrie, Lee Edwin, Jr.; Muehldorf, Alexander Victor; O'Yang, Counde; Yasuda, Dennis Mitsugu			
PA	F. Hoffmann-La Roche A.-G., Switz.			
SO	PCT Int. Appl., 100 pp. CODEN: PIXXD2			
DT	Patent			
LA	English			
FAN.CNT 1				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2001068591	A1	20010920	WO 2001-EP2597	20010308
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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NO 2002004387	A	20021021	NO 2002-4387	20020913
		US 2000-190129PP	20000316	
		US 2000-247129PP	20001110	

OS MARPAT 135:257467
GI



AB Title compds. I [wherein R1, R2, and R3 = independently (un)substituted (hetero)aryl; R4 = COOH or tetrazolyl; A = single bond, O(CH₂)_q, S(CH₂)_q, NR'(CH₂)_q, (CH₂)_qO, O(CH₂)_qO, (CH₂)_qO(CH₂)_q, (CH₂)_nCO(CH₂)_q, CONH, (CH₂)_q, CH:CH, or C.tplbond.C; R' = H or alkyl; B = (CH₂)_r, CH₂O, CH₂OCH₂, or CH₂NH; m, q, and r = independently 1-3; n and p = independently 0-3; or individual isomers, racemic or nonracemic mixts. of isomers, or pharmaceutically acceptable salts or solvates thereof] were prep'd. as prostaglandin IP receptor antagonists. For example, 4-vinylbenzoic acid was esterified with MeOH (97.4%). The ester was hydroborated with 9-BBN in THF and oxidized with alk. HOOH to give 4-(2-hydroxyethyl)benzoic acid Me ester (64.7%). Etherification with PhOH in the presence of PPh₃ and diEt azodicarboxylate in THF (16.8%), followed by redn. with LiAlH₄, condensation with Me (R)-2-isocyanato-3-phenylpropionate, and hydrolysis, afforded II. The latter showed affinity toward the human platelet IP receptor with a K_i value of 6.6. I are useful for the treatment of inflammatory conditions, pain, bladder disorders, hypotensive vascular diseases, and respiratory diseases, such as allergies and asthma (no data).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695967 CAPLUS

DN 137:232672

TI Preparation of substituted benzofuran-2-ylmethyl phenylcarbamates as IP antagonists

IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
PA F. Hoffmann-La Roche A.-G., Switz.

SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OS MARPAT 137:232672

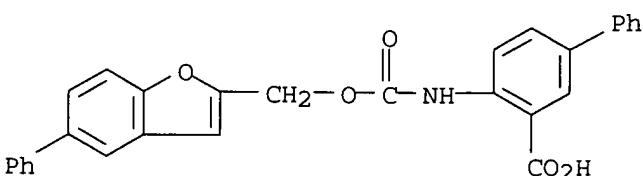
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 458537-79-6P 458537-80-9P 458537-82-1P
 458537-83-2P 458538-18-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted benzofuran-2-ylmethyl phenylcarbamates as
IP antagonists)

RN 458537-01-4 CAPLUS

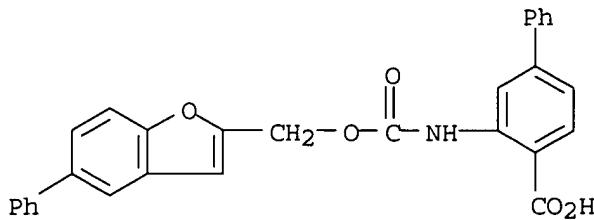
CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 458537-03-6 CAPLUS

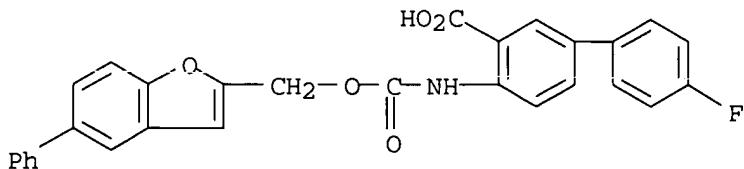
CN [1,1'-Biphenyl]-4-carboxylic acid, 3-[[[(5-phenyl-2-

benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



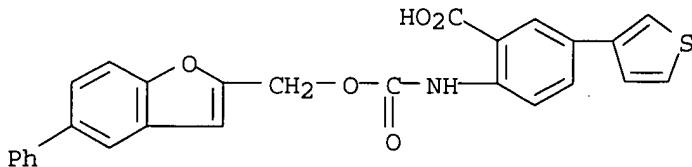
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CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



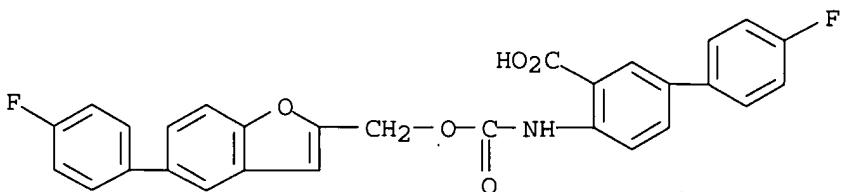
RN 458537-07-0 CAPLUS

CN Benzoic acid, 2-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]-5-(3-thienyl) - (9CI) (CA INDEX NAME)



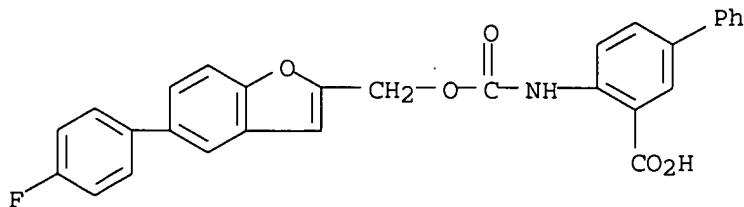
RN 458537-09-2 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)

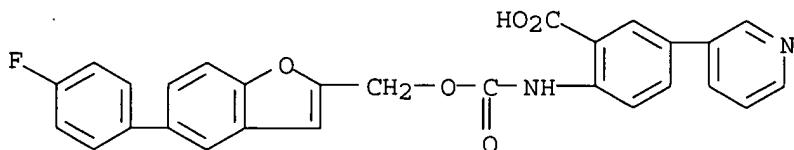


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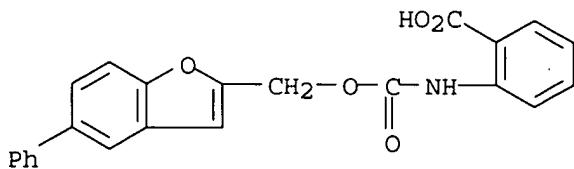
CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[[[5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



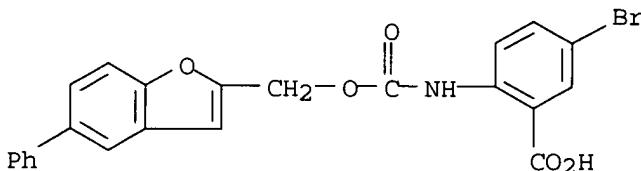
RN 458537-13-8 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



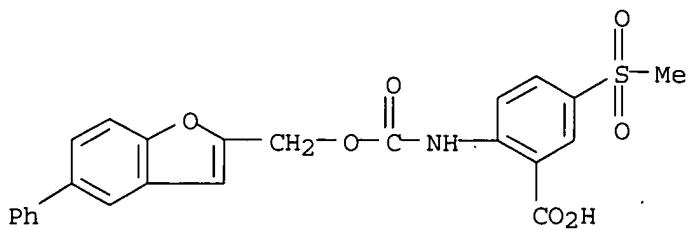
RN 458537-14-9 CAPLUS
CN Benzoic acid, 2-[[[5-(phenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



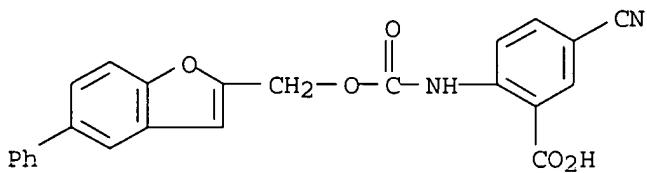
RN 458537-18-3 CAPLUS
CN Benzoic acid, 5-bromo-2-[[[5-(phenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



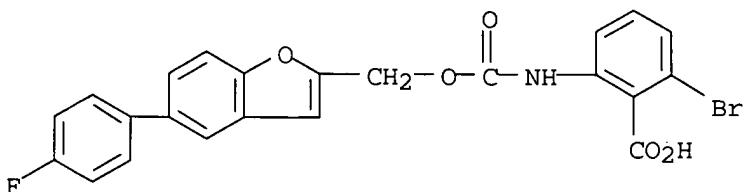
RN 458537-20-7 CAPLUS
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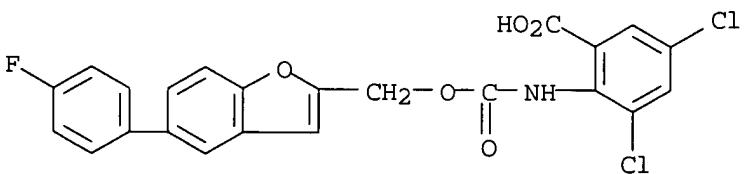
RN 458537-22-9 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



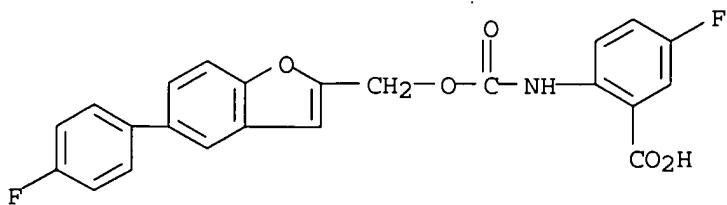
RN 458537-24-1 CAPLUS
CN Benzoic acid, 2-bromo-6-[[[5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



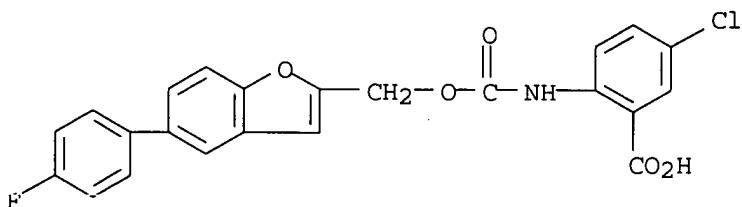
RN 458537-25-2 CAPLUS
CN Benzoic acid, 3,5-dichloro-2-[[[(5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



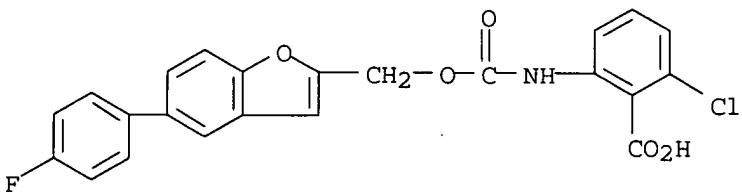
RN 458537-27-4 CAPLUS
CN Benzoic acid, 5-fluoro-2-[[[(5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



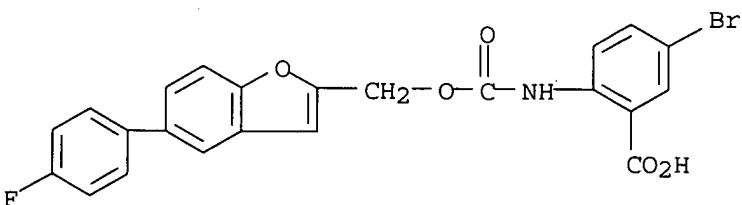
RN 458537-29-6 CAPLUS
CN Benzoic acid, 5-chloro-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



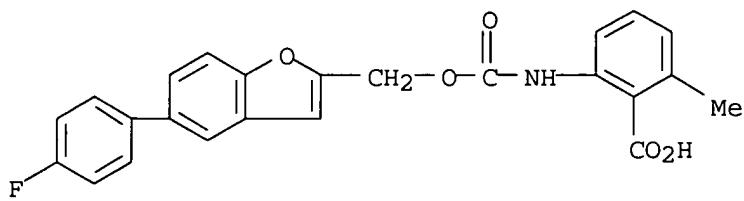
RN 458537-31-0 CAPLUS
CN Benzoic acid, 2-chloro-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



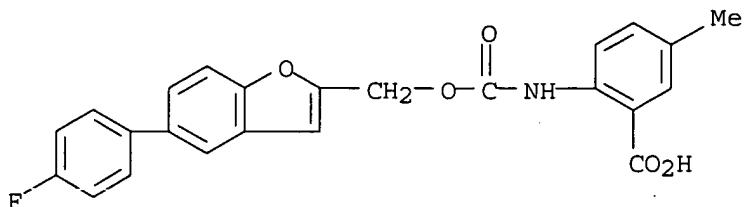
RN 458537-32-1 CAPLUS
CN Benzoic acid, 5-bromo-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



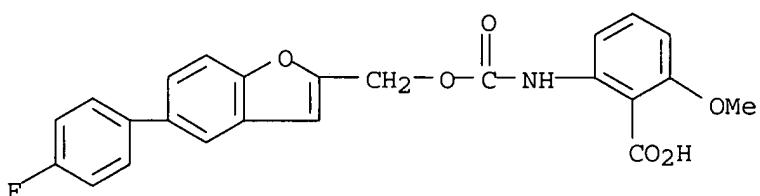
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CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



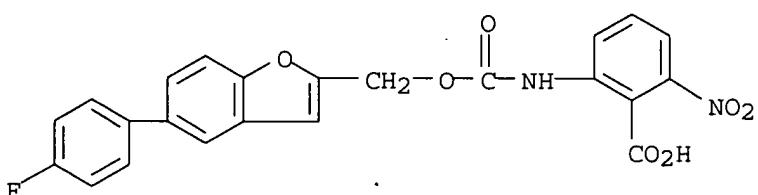
RN 458537-36-5 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



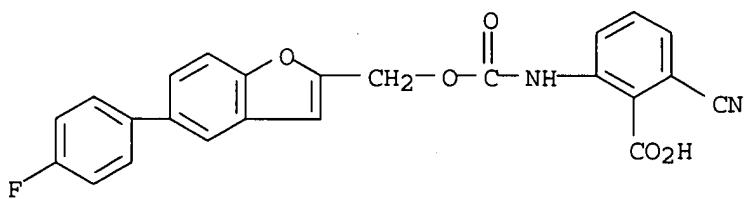
RN 458537-38-7 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-6-methoxy- (9CI) (CA INDEX NAME)



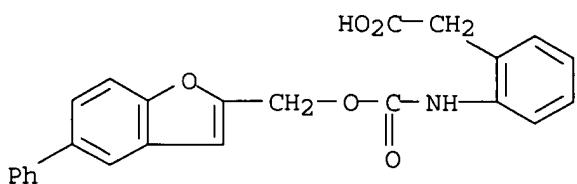
RN 458537-40-1 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-6-nitro- (9CI) (CA INDEX NAME)



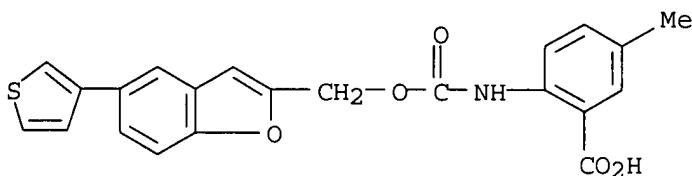
RN 458537-42-3 CAPLUS
CN Benzoic acid, 2-cyano-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



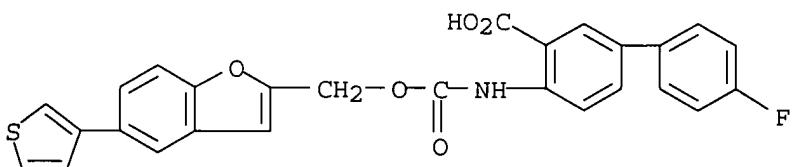
RN 458537-44-5 CAPLUS
CN Benzeneacetic acid, 2-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]-
(9CI) (CA INDEX NAME)



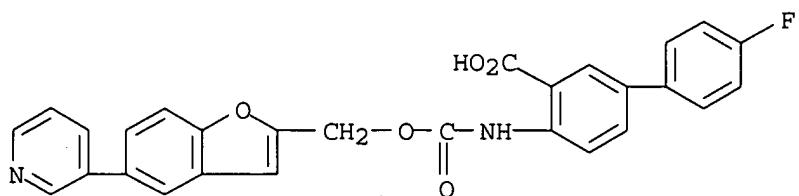
RN 458537-46-7 CAPLUS
CN Benzoic acid, 5-methyl-2-[[[5-(3-thienyl)-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 458537-48-9 CAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(3-thienyl)-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

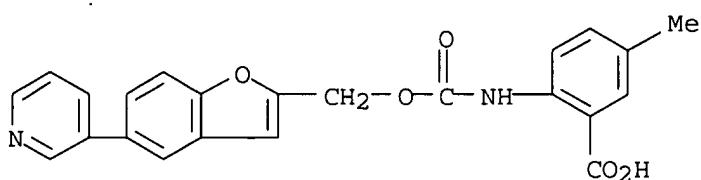


RN 458537-50-3 CAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(3-pyridinyl)-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



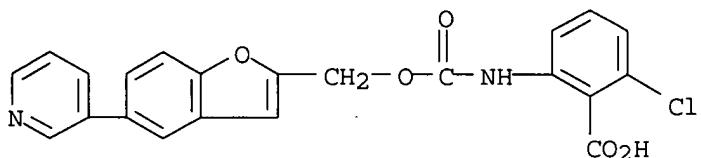
RN 458537-51-4 CAPLUS

CN Benzoic acid, 5-methyl-2-[[[5-(3-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



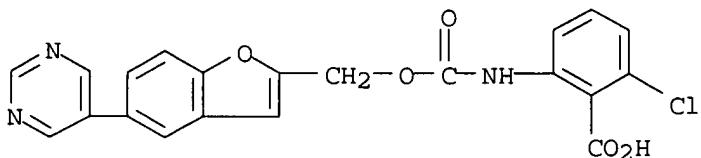
RN 458537-53-6 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(3-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



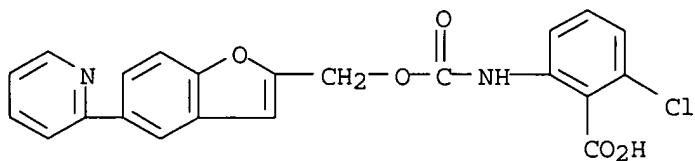
RN 458537-55-8 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(5-pyrimidinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)

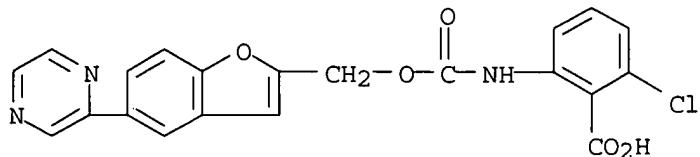


RN 458537-57-0 CAPLUS

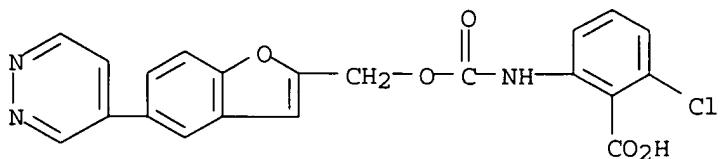
CN Benzoic acid, 2-chloro-6-[[[5-(2-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



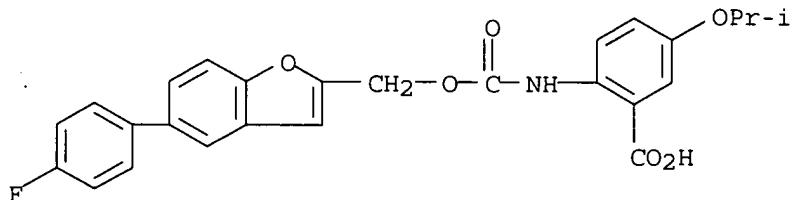
RN 458537-59-2 CAPLUS
CN Benzoic acid, 2-chloro-6-[[[(5-pyrazinyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



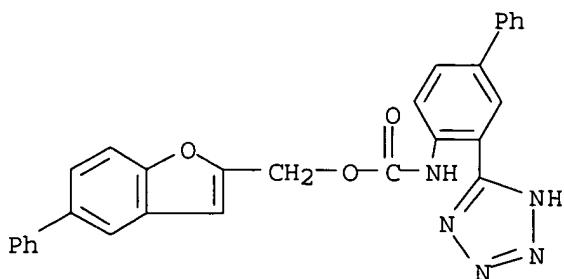
RN 458537-60-5 CAPLUS
CN Benzoic acid, 2-chloro-6-[[[5-(4-pyridazinyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



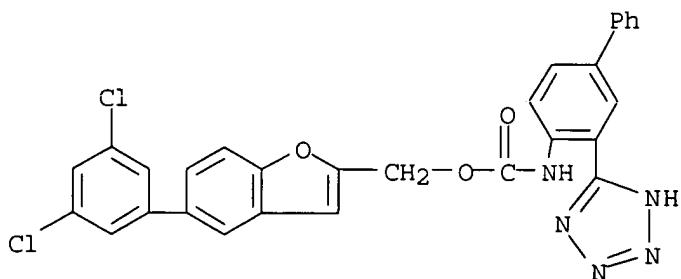
RN 458537-69-4 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-(1-methylethoxy)- (9CI) (CA INDEX NAME)



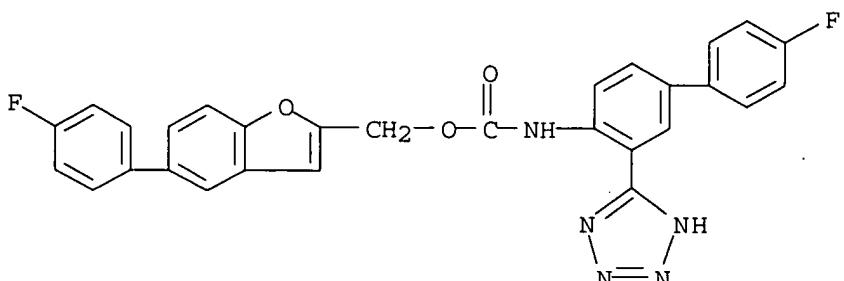
RN 458537-73-0 CAPLUS
CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



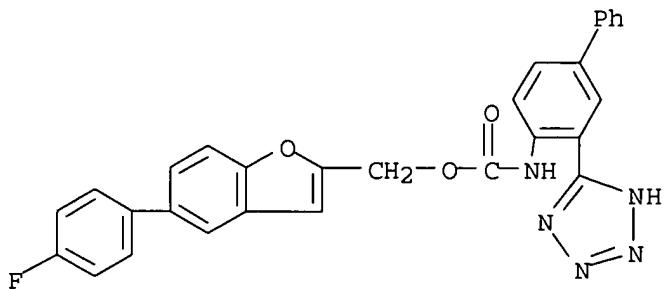
RN 458537-74-1 CAPLUS
CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-[5-(3,5-dichlorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



RN 458537-75-2 CAPLUS
CN Carbamic acid, [4'-fluoro-3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-[5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)

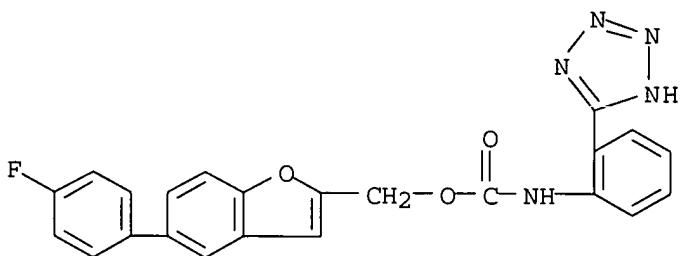


RN 458537-76-3 CAPLUS
CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-[5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



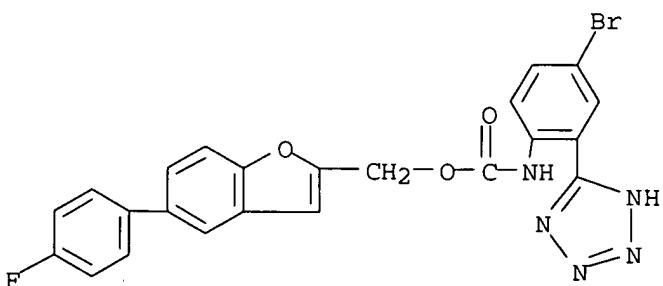
RN 458537-77-4 CAPLUS

CN Carbamic acid, [2-(1H-tetrazol-5-yl)phenyl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



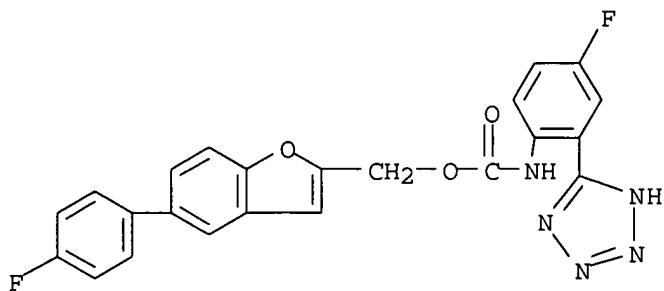
RN 458537-78-5 CAPLUS

CN Carbamic acid, [4-bromo-2-(1H-tetrazol-5-yl)phenyl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



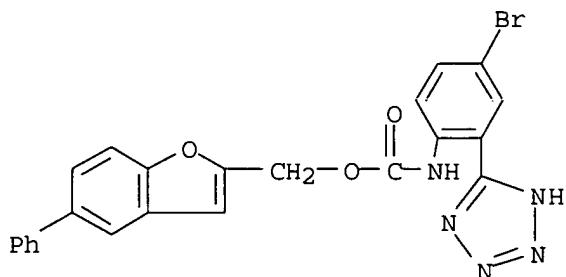
RN 458537-79-6 CAPLUS

CN Carbamic acid, [4-fluoro-2-(1H-tetrazol-5-yl)phenyl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



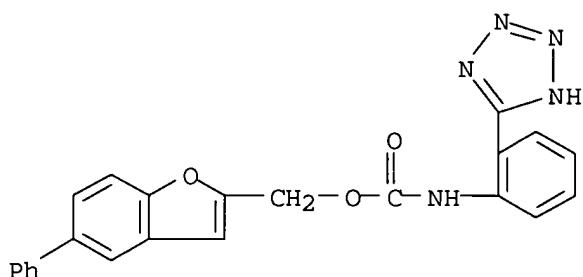
RN 458537-80-9 CAPLUS

CN Carbamic acid, [4-bromo-2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



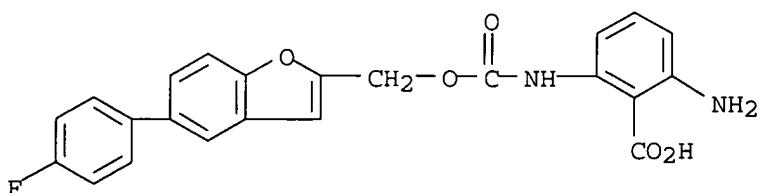
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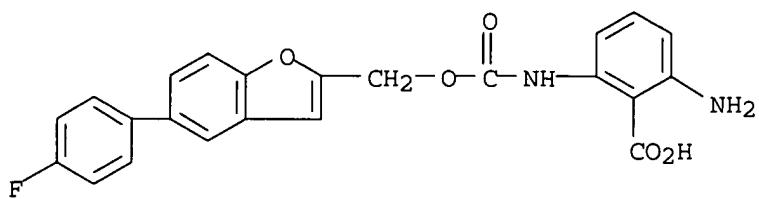
CN Carbamic acid, [2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



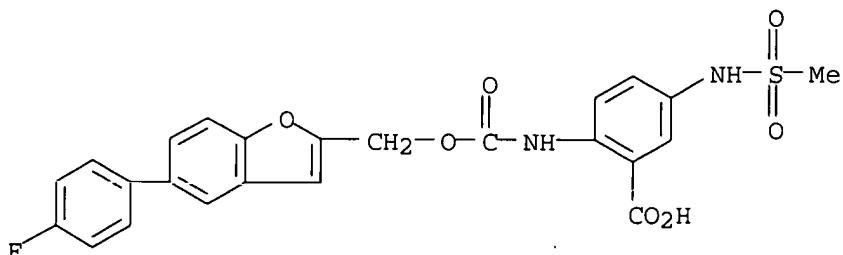
RN 458537-83-2 CAPLUS

CN Benzoic acid, 2-amino-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

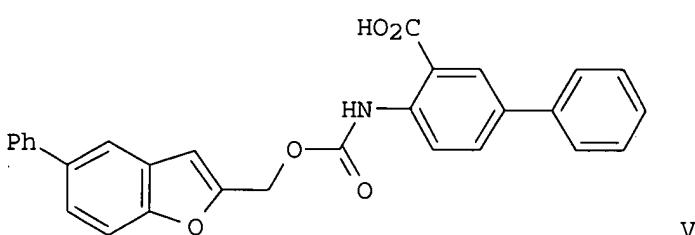
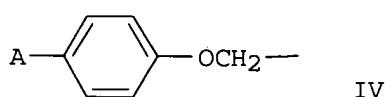
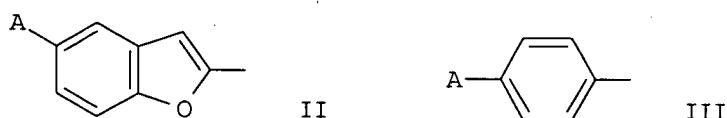




RN 458538-18-6 CAPLUS
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonylamino]-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



GI



AB The title alkoxy carbonylamino benzoic acid or alkoxy carbonylamino tetrazolyl Ph derivs. G1CH₂OCONHG2 [I; G1 = II-IV (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, etc.); G2 = (un)substituted

2-carboxyphenyl, 3-carboxybiphenyl-4-yl, 3-(1H-tetrazol-5-yl)biphenyl-4-yl, etc.] which are generally IP receptor antagonists useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prep'd. and formulated. Thus, treating Me 2-amino-5-phenylbenzoate (prepn. given) with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the resulting Me ester afforded the acid V which showed pKi of 7.6 in in vitro human platelet receptor binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	32.30	180.66
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-3.26	-3.26

STN INTERNATIONAL LOGOFF AT 08:30:59 ON 11 OCT 2003

L Number	Hits	Search Text	DB	Time stamp
1	4983	("514/183,381,449,467,469").CCIS	USPAT	2003/10/11 11:10
2	984	("548/250,252").CCIS	USPAT	2003/10/11 11:10
3	1592	("549/432,434,462,491,497").CCIS	USPAT	2003/10/11 11:10
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2	3353	Prostaglandin and inflammation	USPAT	2003/10/11 09:39
3	37536	Prostaglandin and urinary tract	USPAT	2003/10/11 09:40
4	753	(Prostaglandin and Pain) and (Prostaglandin and urinary tract)	USPAT	2003/10/11 09:40
5	52	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and benzofuran	USPAT	2003/10/11 09:40
6	43	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and tetrazole	USPAT	2003/10/11 09:40
7	10	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and ((Prostaglandin and urinary tract) and benzofuran) and (((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and tetrazole))	USPAT	2003/10/11 09:40

L Number	Hits	Search Text	DB	Time stamp
1	2336	Prostaglandin and Pain	USPAT	2003/10/11 09:39
2	3353	Prostaglandin and inflammation	USPAT	2003/10/11 09:39
3	37536	Prostaglandin and urinary tract	USPAT	2003/10/11 09:40
4	753	(Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)	USPAT	2003/10/11 09:40
5	52	((Prostaglandin and Pain) and (Prostaglandin and inflammation)) and ((Prostaglandin and urinary tract)) and benzofuran	USPAT	2003/10/11 09:40
6	43	((Prostaglandin and Pain) and (Prostaglandin and inflammation)) and ((Prostaglandin and urinary tract)) and tetrazole	USPAT	2003/10/11 09:40
7	10	((Prostaglandin and Pain) and (Prostaglandin and inflammation)) and (((Prostaglandin and Prostaglandin and urinary tract)) and benzofuran) and (((Prostaglandin and Pain) and (Prostaglandin and inflammation)) and (Prostaglandin and urinary tract)) and tetrazole)	USPAT	2003/10/11 09:40